### **CLAIMS:**

1. The use of a compound of Formula (I),

$$R^5$$
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 

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Formula (I)

wherein:

R<sup>1</sup> is selected from: hydrogen, optionally substituted C<sub>1-6</sub>alkyl, optionally substituted aryl or optionally substituted arylC<sub>1-6</sub>alkyl, wherein the optional substituents are selected from C<sub>1-4</sub>alkyl, nitro, cyano, fluoro and C<sub>1-4</sub>alkoxy;

 ${f R}^2$  is an optionally substituted mono or bi-cyclic aromatic ring, wherein the optional substituents are 1, 2 or 3 substituents independently selected from: cyano,  ${f R}^e{f R}^f{f N}$ -,  ${f C}_{1\text{-}6}$ alkyl,  ${f C}_{1\text{-}6}$ alkoxy, halo, halo  ${f C}_{1\text{-}6}$ alkyl or halo  ${f C}_{1\text{-}6}$ alkoxy wherein  ${f R}^e$  and  ${f R}^f$  are independently selected from hydrogen,  ${f C}_{1\text{-}6}$ alkyl or aryl;

15 R<sup>3</sup> is selected from a group of Formula (IIa) to Formula (IId):

where **R**<sup>6</sup> and **R**<sup>6a</sup> are independently selected from hydrogen, fluoro, optionally substituted C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, or **R**<sup>6</sup> and **R**<sup>6a</sup> taken together and the carbon atom to which they are attached form a carbocyclic ring of 3-7 atoms or **R**<sup>6</sup> and **R**<sup>6a</sup> taken together and the carbon atom to which they are attached form a carbonyl group;



or when A is not a direct bond the group

forms a carbocyclic ring of 3-7

carbon atoms or a heterocyclic ring containing one or more heteroatoms;



or the group

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forms a heterocyclic ring containing 3-7 carbon atoms and one

or more heteroatoms;

 $\mathbf{R}^7$  is selected from: hydrogen or  $C_{1-6}$ alkyl;

**R**<sup>8</sup> is selected from:

(i) hydrogen, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, haloC<sub>1-6</sub>alkyl, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, hydroxy, hydroxyC<sub>1-6</sub>alkyl, cyano, N-C<sub>1-4</sub>alkylamino, N,N-di-C<sub>1-4</sub>alkylamino, C<sub>1-6</sub>alkyl-S(O<sub>n</sub>)-, -O-**R**<sup>b</sup>, -N**R**<sup>b</sup>**R**<sup>c</sup>, -C(O)-**R**<sup>b</sup>, -C(O)O-**R**<sup>b</sup>, -CON**R**<sup>b</sup>**R**<sup>c</sup>,

NH-C(O)-**R**<sup>b</sup> or -S(O<sub>n</sub>)N**R**<sup>b</sup>**R**<sup>c</sup>,
where **R**<sup>b</sup> and **R**<sup>c</sup> are independently selected from hydrogen and C<sub>1-6</sub>alkyl optionally substituted with hydroxy, amino, N-C<sub>1-4</sub>alkylamino,
N,N-di-C<sub>1-4</sub>alkylamino, HO-C<sub>2-4</sub>alkyl-NH- or HO-C<sub>2-4</sub>alkyl-N(C<sub>1-4</sub>alkyl)-;

(ii) nitro when **B** is a group of Formula (IV) and **X** is CH and **p** is 0;

(iii) carbocyclyl (such as  $C_{3-7}$ cycloalkyl or aryl) or aryl $C_{1-6}$ alkyl each of which is optionally substituted by  $\mathbf{R^{12}}$ , or  $\mathbf{R^{13}}$ ;

(iv) heterocyclyl or heterocyclylC<sub>1-6</sub>alkyl each of which is optionally substituted by up to 4 substituents independently selected from R<sup>12</sup> or R<sup>13</sup>, and where any nitrogen atoms within a heterocyclyl group are, where chemically allowed, optionally in their oxidised (N→O, N-OH) state;

A is selected from:

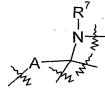
- (i) a direct bond;
- (ii) optionally substituted C<sub>1-5</sub>alkylene wherein the optional substituents are independently selected from: hydroxy, hydroxyC<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, aryl or arylC<sub>1-6</sub>alkyl;
- (iii) a carbocyclic ring of 3-7 atoms:
- (iv) a carbonyl group or  $-C(O)-C(\mathbf{R}^{\mathbf{d}}\mathbf{R}^{\mathbf{d}})$ -, wherein  $\mathbf{R}^{\mathbf{d}}$  is independently selected from hydrogen and  $C_{1-2}$ alkyl;

N-B

or when  $R^3$  is a group of Formula (IIa) or (IIb), the group

forms a

heterocyclic ring containing 3-7 carbon atoms and one or more heteroatoms;



or when  $\mathbb{R}^3$  is a group of Formula (IIa), (IIb), (IIc) or (IId), the group

forms a heterocyclic ring containing 3-7 carbon atoms and one or more heteroatoms;

- 5 **B** is selected from:
  - (i) a direct bond;
  - (ii) a group of Formula (IV)

$$X - (CH_2)_p$$

Formula (IV)

wherein:

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X is selected from N or CH,

wherein at position (a) Formula (IV) is attached to the nitrogen atom and the  $(CH_2)_p$  group is attached to  $\mathbb{R}^8$ ; and

(iii) a group independently selected from: optionally substituted C<sub>1-6</sub>alkylene, optionally substituted C<sub>3-7</sub>cycloalkyl, optionally substituted C<sub>3-6</sub>alkenylene, optionally substituted C<sub>3-6</sub>alkynyl, (C<sub>1-5</sub>alkyl)<sub>aa</sub>-S(O<sub>n</sub>)-(C<sub>1-5</sub>alkyl)<sub>bb</sub>-, -(C<sub>1-5</sub>alkyl)<sub>aa</sub>-C(O)-(C<sub>1-5</sub>alkyl)<sub>bb</sub>- or (C<sub>1-5</sub>alkyl)<sub>aa</sub>-O-(C<sub>1-5</sub>alkyl)<sub>bb</sub>, or -(C<sub>1-5</sub>alkyl)<sub>aa</sub>-C(O)NH-(C<sub>1-5</sub>alkyl)<sub>bb</sub>-

where  $\mathbf{R}^{17}$  is hydrogen or  $C_{1\text{-4}}$ alkyl, or where  $\mathbf{R}^{17}$  and the  $(C_{1\text{-5}}$ alkyl)<sub>aa</sub> or  $(C_{1\text{-5}}$ alkyl)<sub>bb</sub> chain can be joined to form a heterocyclic ring, wherein aa and bb are independently 0 or 1 and the combined length of  $(C_{1\text{-5}}$ alkyl)<sub>aa</sub> and  $(C_{1\text{-5}}$ alkyl)<sub>bb</sub> is less than or equal to  $C_{5}$ alkyl and wherein the optional substituents are independently selected from  $\mathbf{R}^{12}$ ; or the group  $-\mathbf{B}$ - $\mathbf{R}^{8}$  represents a group of Formula (V)

Formula (V);

or the group together forms an optionally substituted heterocyclic ring containing 4-7 carbons atoms, wherein the optional substituents are selected from 1 or 2 substituents independently selected from R<sup>12</sup> and R<sup>13</sup>:

or the group

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forms a heterocyclic ring containing 3-7 carbon atoms and

one or more heteroatoms;

R<sup>11</sup> is selected from: hydrogen, optionally substituted C<sub>1-6</sub>alkyl, N(R<sup>23</sup>R<sup>24</sup>) or NC(O)OR<sup>25</sup>, where R<sup>23</sup>, R<sup>24</sup> and R<sup>25</sup> are independently selected from: hydrogen, hydroxy, optionally substituted C<sub>1-6</sub>alkyl, optionally substituted aryl, optionally substituted arylC<sub>1-6</sub>alkyl, an optionally substituted carbocyclic ring of 3-7 atoms, optionally substituted heterocyclyl or optionally substituted heterocyclylC<sub>1-6</sub>alkyl or R<sup>23</sup> and R<sup>24</sup> taken together with the nitrogen atom to which they are attached, can form an optionally substituted ring of 3-10 atoms,

wherein the optional substituents are selected from  $R^{12}$  and where K and  $R^8$  are as defined herein;

J is a group of the formula:  $-(CH_2)_s$ -L- $-(CH_2)_s$ - or  $-(CH_2)_s$ -C(O)- $-(CH_2)_s$ -L- $-(CH_2)_s$ -wherein when s is greater than 0, the alkylene group is optionally substituted,

$$R^{7}$$

$$\downarrow_{\mathcal{L}_{1}}$$

$$\rightarrow \mathcal{L}_{2}$$

or the group together forms an optionally substituted heterocyclic ring containing 4-7 carbons atoms, wherein the optional substituents are selected from 1 or 2 substituents independently selected from R<sup>12</sup> and R<sup>13</sup>;

K is selected from: a direct bond,  $-(CH_2)_{s1}$ -,  $-(CH_2)_{s1}$ -O- $(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -C(O)- $(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -S(O<sub>n</sub>)- $(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -N(R<sup>17a</sup>)- $(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -C(O)N(R<sup>17a</sup>)- $(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -N(R<sup>17a</sup>)C(O)- $(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -N(R<sup>17a</sup>)C(O)N(R<sup>17a</sup>)- $(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -OC(O)- $(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -C(O)O- $(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -N(R<sup>17a</sup>)C(O)O- $(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -OC(O)N(R<sup>17a</sup>)- $-(CH_2)_{s2}$ -,  $-(CH_2)_{s1}$ -OS(O<sub>n</sub>)- $-(CH_2)_{s2}$ -, or  $-(CH_2)_{s1}$ -S(O<sub>n</sub>)-O- $-(CH_2)_{s2}$ -,

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-(CH<sub>2</sub>)<sub>s1</sub>-S(O)<sub>2</sub>N( $\mathbf{R}^{17a}$ )-(CH<sub>2</sub>)<sub>s2</sub>-or -(CH<sub>2</sub>)<sub>s1</sub>-N( $\mathbf{R}^{17a}$ )S(O)<sub>2</sub>-(CH<sub>2</sub>)<sub>s2</sub>-; wherein the -(CH<sub>2</sub>)<sub>s1</sub>- and -(CH<sub>2</sub>)<sub>s2</sub>- groups are independently optionally substituted by hydroxy or C<sub>1-4</sub>alkyl and wherein when s1>1 or s2>1 then the CH<sub>2</sub> group can optionally be a branched chain.;

where  $\mathbf{R}^{17a}$  is hydrogen or  $C_{1-4}$ alkyl;

 ${f L}$  is selected from optionally substituted aryl or optionally substituted heterocyclyl;

 $\mathbf{R}^4$  is selected from hydrogen,  $C_{1-4}$ alkyl or halo;

R<sup>5</sup> is selected from a group of Formula III-a; III-b; III-c; III-d; III-e; III-f, III-g, III-h, III-i, or III-j, III-k, III-l, III-m, III-n or III-o

wherein:

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het represents an optionally substituted 3- to 8-membered heterocyclic ring containing from 1 to 4 heteroatoms independently selected from O, N and S,

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wherein the optional substituents are selected from 1-2 groups selected from  $\mathbf{R}^{12}$  and  $\mathbf{R}^{13}$ ; and

Q is selected from a direct bond or –[C( $R^{16}R^{16a})$ ]1-2-;  $R^{14}$  and  $R^{15}$  are selected from:

- 5 (i)  $\mathbf{R^{14}}$  selected from hydrogen; optionally substituted  $C_{1-8}$ alkyl; optionally substituted aryl;  $-\mathbf{R^d}$ -Ar, where  $\mathbf{R^d}$  represents  $C_{1-8}$ alkylene and Ar represents optionally substituted aryl; and optionally substituted 3- to 8-membered heterocyclic ring optionally containing from 1 to 3 further heteroatoms independently selected from O, N and S; and  $\mathbf{R^{15}}$  is selected from hydrogen; optionally substituted  $C_{1-8}$ alkyl and optionally substituted aryl;
  - (ii) wherein the group of Formula (III) represents a group of Formula III-a, III-b, III-i, III-I or III-m, then the group NR<sup>14</sup>(-R<sup>15</sup>) represents an optionally substituted 3- to 8-membered heterocyclic ring optionally containing from 1 to 3 further heteroatoms independently selected from O, N and S; or
- wherein the group of Formula (III) represents structure III-e, represents an optionally substituted 3- to 8-membered heterocyclic ring optionally containing from 1 to 4 heteroatoms independently selected from O, N and S;

 $\mathbf{R^{16}}$  and  $\mathbf{R^{16a}}$  are independently selected from:

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- (i) hydrogen or optionally substituted C<sub>1-8</sub>alkyl; or
  - (ii) R<sup>16</sup> and R<sup>16a</sup> together with the carbon to which they are attached form an optionally substituted 3 to 7-membered cycloalkyl ring;

 $\label{eq:R12} \textbf{R}^{12} \text{ is independently selected from: halo, hydroxy, hydroxy} C_{1\text{-6}alkyl, oxo, cyano,} \\ \text{cyano} C_{1\text{-6}alkyl, nitro, carboxyl, } C_{1\text{-6}alkyl, C_{1\text{-6}alkoxy}, C_{1\text{-6}alkoxy}C_{1\text{-4}alkyl,} \\ \text{cyano} C_{1\text{-6}alkyl, nitro, carboxyl, } C_{1\text{-6}alkyl, C_{1\text{-6}alkoxy}, C_{1\text{-6}alkoxy}C_{1\text{-4}alkyl,} \\ \text{cyano} C_{1\text{-6}alkyl, nitro, carboxyl, } C_{1\text{-6}alkyl, C_{1\text{-6}alkoxy}, C_{1\text{-6}alkoxy}, \\ \text{cyano} C_{1\text{-6}alkyl, nitro, carboxyl, } C_{1\text{-6}alkyl, Nitro, C_{1\text{-6}alkyl, Nitr$ 

- C<sub>1-6</sub>alkoxycarbonylC<sub>0-4</sub>alkyl, C<sub>1-6</sub>alkanoylC<sub>0-4</sub>alkyl, C<sub>1-6</sub>alkanoyloxyC<sub>0-4</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>1-3</sub>perfluoroalkyl-, C<sub>1-3</sub>perfluoroalkoxy, aryl, arylC<sub>1-6</sub>alkyl, heterocyclyl, heterocyclylC<sub>1-6</sub>alkyl, aminoC<sub>0-4</sub>alkyl, <u>N</u>-C<sub>1-4</sub>alkylaminoC<sub>0-4</sub>alkyl, <u>N</u>, <u>N</u>-di-C<sub>1-4</sub>alkylaminoC<sub>0-4</sub>alkyl, carbamoyl, <u>N</u>-C<sub>1-4</sub>alkylcarbamoylC<sub>0-2</sub>alkyl, <u>N</u>,
  - $\underline{\mathbf{N}}$ -di- $C_{1-4}$ alkylaminocarbamoyl $C_{0-2}$ alkyl, aminocarbonyl $C_{0-4}$ alkyl,
- $$\begin{split} \underline{\mathbf{N}}\text{-}C_{1\text{-}6}\text{alkyaminocarbonyl}C_{0\text{-}4}\text{alkyl}, & \underline{\mathbf{N}}\text{-}\mathbf{N}\text{-}C_{1\text{-}6}\text{alkyaminocarbonyl}C_{0\text{-}4}\text{alkyl}, \\ & C_{1\text{-}6}\text{alkyl}\text{-}\mathbf{S}(O)_{n}\text{-}\mathrm{amino}C_{0\text{-}4}\text{alkyl}\text{-}, & \mathrm{aryl}\text{-}\mathbf{S}(O)_{n}\text{-}\mathrm{amino}C_{0\text{-}2}\text{alkyl}\text{-}, \\ & C_{1\text{-}3}\text{perfluoroalkyl}\text{-}\mathbf{S}(O)_{n}\text{-}\mathrm{amino}C_{0\text{-}2}\text{alkyl}\text{-}; & C_{1\text{-}6}\text{alkylamino}\text{-}\mathbf{S}(O)_{n}\text{-}C_{0\text{-}2}\text{alkyl}\text{-}, \end{split}$$

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arylamino- $S(O)_n$ - $C_{0-2}$ alkyl-,  $C_{1-3}$ perfluoroalkylamino- $S(O)_n$ - $C_{0-2}$ alkyl-,

C<sub>1-6</sub>alkanoylamino-S(O)<sub>n</sub>-C<sub>0-2</sub>alkyl-; arylcarbonylamino-S(O)<sub>n</sub>-C<sub>0-2</sub>alkyl-,

 $C_{1\text{-}6}$ alkyl- $S(O)_n$ - $C_{0\text{-}2}$ alkyl-, aryl- $S(O)_n$ - $C_{0\text{-}2}$ alkyl-,  $C_{1\text{-}3}$ perfluoroalkyl-,

 $C_{1\text{--}3} perfluoroalkoxy C_{0\text{--}2} alkyl; \textbf{R}^{9}\text{'}OC(O)(CH_2)_{w^-}, \textbf{R}^{9}\text{''}\textbf{R}^{10}\text{''}N(CH_2)_{w^-},$ 

R<sup>9</sup>'R<sup>10</sup>'NC(O)(CH<sub>2</sub>)<sub>w</sub>-, R<sup>9</sup>R<sup>10</sup>NC(O)N(R<sup>9</sup>)(CH<sub>2</sub>)<sub>w</sub>-, R<sup>9</sup>OC(O)N(R<sup>9</sup>)(CH<sub>2</sub>)<sub>w</sub>-, or halo, wherein w is an integer between 0 and 4 and R<sup>9</sup> and R<sup>10</sup> are independently selected from hydrogen, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonyl and C<sub>3-7</sub>carbocyclyl, R<sup>9</sup>' and R<sup>10</sup>' are independently selected from C<sub>1-4</sub>alkylsulphonyl and C<sub>3-7</sub>carbocyclyl, and R<sup>9</sup>" and R<sup>10</sup>" are C<sub>3-7</sub>carbocyclyl; wherein an amino group within R<sup>12</sup> is optionally substituted by C<sub>1-4</sub>alkyl;

 $R^{13}$  is  $C_{1\text{-4}}$ alkylaminocarbonyl wherein the alkyl group is optionally substituted by 1, 2 or 3 groups selected from  $R^{12}$ , or  $R^{13}$  is a group  $-C(O)-R^{18}$  and  $R^{18}$  is selected from an amino acid derivative or an amide of an amino acid derivative;

M is selected from -CH<sub>2</sub>-CH<sub>2</sub>- or -CH=CH-;

n is an integer from 0 to 2;

**p** is an integer from 0 to 4;

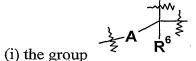
s, s1 and s2 are independently selected from an integer from 0 to 4, and

s1+s2 is less than or equal to 4;

t is an integer between 0 and 4; and

20 or a salt, solvate or pro-drug thereof, in the manufacture of a medicament for

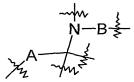
- (a) antagonising gonadotropin releasing hormone activity;
- (b) administration to a patient, for reducing the secretion of luteinizing hormone by the pituitary gland of the patient; and
- (c) administration to a patient, for therapeutically treating and/or preventing a sex hormone
   related condition in the patient.
  - 2. A compound of formula (IA) which is a compound of formula (I) as defined in claim 1, with the proviso that when



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forms an aromatic carbocyclic ring of 3-7 carbon atoms or an

aromatic heterocyclic ring containing one or more heteroatoms, or

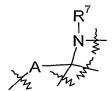


(ii) when R<sup>3</sup> is a group of Formula (IIa) or (IIb), and the group

forms an

aromatic heterocyclic ring containing 3-7 carbon atoms and one or more heteroatoms; or

(iii) when R<sup>3</sup> is a group of Formula (IIa), (IIb), (IIc) or (IId), and the group



forms an aromatic heterocyclic ring containing 3-7 carbon atoms and one

5 or more heteroatoms, or



(iv) when the group

forms an aromatic heterocyclic ring containing 3-7 carbon

atoms and one or more heteroatoms and A is a direct bond;

then  $\mathbb{R}^5$  is other than a group III-o.

10 3. A compound according to claim 2 wherein the group A is selected from (i) a direct bond or (ii) optionally substituted C<sub>1-5</sub>alkylene wherein the optional substituents are independently selected from: hydroxy, hydroxyC<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, aryl or arylC<sub>1-6</sub>alkyl.

4. A compound according to claim 2 or claim 3 which includes a group R<sup>13</sup> and wherein the group R<sup>13</sup> is -C(O)-R<sup>18</sup>, and R<sup>18</sup> is selected from an amino acid derivative or an amide of an amino acid derivative; or a salt, solvate or pro-drug thereof.

5. A compound according to any one of claims 2 to 4 wherein  $\mathbb{R}^1$  is selected from

20 hydrogen, optionally substituted C<sub>1-6</sub>alkyl or optionally substituted arylC<sub>1-6</sub>alkyl, wherein the optional substitutuents are selected from: fluoro and C<sub>1-4</sub>alkoxy.

- 6. A compound according to any one of claims 2 to 5 wherein  $\mathbb{R}^2$  is phenyl, optionally susbstituted by one or more groups selected from methyl, ethyl, methoxy, ethoxy, tert-butoxy, F or Cl.
- 5 7. A compound according to any one of claims 2 to 6 wherein  $\mathbb{R}^3$  is selected from a group of formula (IIc) or formula (IId).
  - 8. A compound according to any one of claims 2 to 7 wherein  $\mathbb{R}^4$  is selected from hydrogen, methyl, ethyl, chloro or bromo.
  - 9. A compound according to any one of claims 2 to 8 wherein  $\mathbb{R}^5$  is selected from a group of Formula III-a, III-g, III-h, III-i, III-j, III-k, III-l: or III-o

wherein  $R^{16}$ ,  $R^{16a}$ ,  $R^{14}$  and  $R^{15}$  are as defined in claim 1.

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10. A compound according to claim 9 wherein R<sup>5</sup> is a group of formula

11. A compound according to any one of claims 2 to 10 wherein M is -CH<sub>2</sub>-CH<sub>2</sub>-.

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### 12. A compound of Formula (Ia)

$$R^5$$
 $R^4$ 
 $R^4$ 
 $R^1$ 

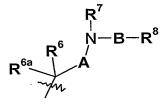
Formula (Ia)

wherein:

5 R<sup>3</sup> is selected from a group of Formula (IIa) or Formula (IIb):

$$\begin{array}{c}
R^7 \\
N-B-R^8
\end{array}$$

Formula (IIa)



Formula (IIb)

 $\mathbf{R}^7$  is selected from: hydrogen or  $C_{1-6}$ alkyl;

**B** is a group of Formula (IV)

$$X - (CH_2)_p$$

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Formula (IV)

and p, A, X, M,  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^5$   $R^6$ ,  $R^6$ ,  $R^8$ , and  $R^{11}$  are as defined above for a compound of Formula (I)

or a salt, solvate or pro-drug thereof.

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# 13. A compound of Formula (Ic)

$$R^5$$
  $M$   $R^3$   $R^2$   $R^4$   $R^4$   $R^1$ 

Formula (Ic)

wherein:

20 R<sup>3</sup> is selected from a group of Formula (IIc) or Formula (IId):

wherein

R<sup>7</sup>
N-J-

the group 'Z together forms an optionally substituted heterocyclic ring

containing 4-7 carbons atoms, wherein the optional substituents are selected from 1 or 2 substituents independently selected from  $\mathbf{R}^{12}$  and  $\mathbf{R}^{13}$ ;

and A, M, J, R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup> R<sup>6</sup>, R<sup>6a</sup>, R<sup>8</sup>, and R<sup>12</sup> and R<sup>13</sup> are as defined in claim 1, or a salt, solvate or pro-drug thereof.

### 10 14. A compound selected from:

 $3-[3,3-Dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl) butyl]-4-[2-\{4-(morpholin-4-1), 2-(morpholin-4-1), 3-(morpholin-4-1)]-1-[2-(morpholin-4-1), 3-(morpholin-4-1)]-1-[2-(morpholin-4-1), 3-(morpholin-4-1), 3-(morpholin-$ 

ylcarbonyl)piperidin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)but-2-en-1-yl]-4-

[1s-methyl-2-(n'-isopropoxycarbonyl-3-pyrid-4-yl-pyrrolidin-1-ylcarboximidamido) ethyl]-5-

15 (3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-Dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-

[1S-methyl-2-(N'-isopropoxy carbonyl-3-pyrid-4-yl-pyrrolidin-1-yl carboximidamido)

ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;

3-[3,3-Dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(pyrrolidin-1-

20 ylcarbonyl)piperazin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;

ylcarbonyl)piperazin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(4-hydroxypiperidin-1-

 $y l carbonyl) piperidin-1-yl \} ethyl]-5-(3,5-dimethyl phenyl)-1 h-pyrrole;\\$ 

25 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-

 $(1,1-dioxo-isothiazolidin-2-ylcarbonyl)-4-methoxy-piperidin-1-yl\} ethyl]-5-(3,5-dioxo-isothiazolidin-2-ylcarbonyl)-4-methoxy-piperidin-1-yl$ 

dimethylphenyl)-1h-pyrrole;

- 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{1-benzyl-pyrrodin-3-ylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;
  3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-(2-{4-n-isopropylureidophenyl}ethylamino)ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;
  5 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{4-(pyrid-4-yl)piperidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;
  3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{3-(pyrid-4-yl)ppyrrolidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole; and
  3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{410 phenylpiperidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole.
  - 15. A process for preparing a compound of formula (I) as defined in claim 1, or a compound according to any one of claims 2 to 14, said process comprising a step selected from (a) to (h):
- 15 (a) reaction of a compound of formula **XXXII** with a compound of formula H-R<sup>3</sup>',

$$R^5$$
  $M$   $R^4$   $R^1$ 

#### **XXXII**

R<sup>6a</sup> R<sup>6a</sup> A and R<sup>6a</sup> A L<sup>1</sup>

wherein  $X^1$  is selected from:

group; and

**H-R**<sup>3'</sup> is selected from:

; L<sup>1</sup> is a displaceable

20 (b) reaction of a compound of formula XXXIII with a compound of formula  $L^2-R^3$ ",

wherein

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the group together forms an optionally substituted heterocyclic ring containing 4-7 carbons atoms, wherein the optional substituents are selected from 1 or 2 substituents independently selected from  $\mathbf{R}^{12}$  and  $\mathbf{R}^{13}$ ;

and A, M, J,  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^5$   $R^6$ ,  $R^{6a}$ ,  $R^8$ , and  $R^{12}$  and  $R^{13}$  are as defined in claim 1, or a salt, solvate or pro-drug thereof.

10 14. A compound selected from:

3-[3,3-Dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(morpholin-4-ylcarbonyl)piperidin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)but-2-en-1-yl]-4-

[1s-methyl-2-(n'-isopropoxycarbonyl-3-pyrid-4-yl-pyrrolidin-1-ylcarboximidamido) ethyl]-5-

15 (3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-Dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-

[1S-methyl-2-(N'-isopropoxycarbonyl-3-pyrid-4-yl-pyrrolidin-1-ylcarboximidamido) ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;

20 ylcarbonyl)piperazin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1H-pyrrole;

2-chloro-3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(pyrrolidin-1-ylcarbonyl)piperazin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(4-hydroxypiperidin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

25 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[2-{4-(1,1-dioxo-isothiazolidin-2-ylcarbonyl)-4-methoxy-piperidin-1-yl}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;

3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{1-benzyl-pyrrodin-3-ylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;
3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-(2-{4-n-isopropylureidophenyl}ethylamino)ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;
5 3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{4-(pyrid-4-yl)piperidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole;
3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{3-(pyrid-4-yl)ppyrrolidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole; and
3-[3,3-dimethyl-4-oxo-4-(azabicyclo[2.2.1]heptan-7-yl)butyl]-4-[1s-methyl-2-{4-phenylpiperidin-1-ylcarbonylamino}ethyl]-5-(3,5-dimethylphenyl)-1h-pyrrole.

- 15. A process for preparing a compound of formula (I) as defined in claim 1, or a compound according to any one of claims 2 to 14, said process comprising a step selected from (a) to (h):
- 15 (a) reaction of a compound of formula **XXXII** with a compound of formula H-**R**<sup>3</sup>',

$$R^{5}$$
 $R^{4}$ 
 $R^{1}$ 

## **XXXII**

 $R^{6a}$   $R^{6a}$   $R^{6a}$  A and  $R^{6a}$  A

wherein  $X^1$  is selected from:

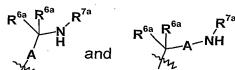
group; and

: L<sup>1</sup> is a displaceable

H-R<sup>3'</sup> is selected from:

20 (b) reaction of a compound of formula XXXIII with a compound of formula  $L^2$ - $R^3$ ",

#### **XXXIII**



wherein  $X^2$  is selected from: ;  $L^2$  is a displaceable group and  $R^{7a}$  is selected from the definition of  $R^7$  or  $R^{22}$  above, and  $L^2$ - $R^3$ " is selected from:  $L^2$ -B- $R^8$  ,  $L^2$ -J-K- $R^8$  and  $L^2$ - $R^{21}$ 

- 5 (c) for compounds of Formula (I) or (IA) wherein  $\mathbb{R}^7$  is other than part of a heterocyclic ring or hydrogen, reaction of a compound of Formula (I) or (IA) wherein  $\mathbb{R}^3$  is a group of Formula (IIa), (IIb), (IIc) or (IId) and  $\mathbb{R}^7$  is hydrogen with a group of formula  $\mathbb{L}^3$ - $\mathbb{R}^{7a}$ , wherein  $\mathbb{R}^{7a}$  is as defined above for  $\mathbb{R}^7$  with the exclusion of hydrogen and  $\mathbb{L}^3$  is a displaceable group;
- 10 (d) for compounds of Formula (I) or (IA) wherein **R**<sup>4</sup> is hydrogen, reduction of a thienopyrrole of Formula XXXVIII

$$R^{5}$$
 $R^{1}$ 
 $R^{2}$ 

#### **XXXVII**

(e) for compounds of Formula (I) wherein  $\mathbb{R}^3$  is a group of Formula (IIc) or (IId) and

roup together forms an optionally substituted nitrogen-containing execution of a compound of Formula

heterocyclic ring containing 4-7 carbons atoms, reaction of a compound of Formula XXXIVa or XXXIVb, with a compound of Formula L<sup>6</sup>-K-R<sup>8</sup>, wherein L<sup>6</sup> is a displaceable group

$$\begin{array}{c} -101 - \\ \\ R^{5} - M \\ \\ R^{4} - R^{2} \end{array}$$

$$\begin{array}{c} R^{5} - M \\ \\ R^{4} - R^{2} \end{array}$$

$$\begin{array}{c} R^{5} - M \\ \\ R^{4} - R^{2} \end{array}$$

$$\begin{array}{c} R^{5} - M \\ \\ R^{4} - R^{2} \end{array}$$

$$\begin{array}{c} XXXIVb \end{array}$$

(f) for compounds of Formula (I) wherein  $R^3$  is a group of Formula (IIc) or (IId), reaction of a compound of Formula XXXVa or XXXVb, with a compound of Formula  $L^7$ - $K^7$ - $R^8$ , wherein  $L^7$  is a displaceable group, and wherein the groups  $K^7$  and  $K^7$  comprise groups which when reacted together form K,

5

$$R^{6a}$$
 $R^{6a}$ 
 $R^{6a}$ 

(g) reaction of a compound of Formula XXXVI with an electrophillic compound of the formula  $L^8$ - $R^3$ , wherein  $L^8$  is a displaceable group

$$R^5$$
  $M$   $R^4$   $R^1$   $R^2$ 

10 (h) reaction of a compound of Formula XXXIX with an appropriate electrophilic reagent to give a compounds of Formula (I)

$$R^5$$
  $M$   $R^2$   $R^2$ 

### **XXXIX**

and thereafter if necessary, carrying out one or more of the following steps:

15 i) converting a compound of the Formula (I) into another compound of the Formula (I); ii) removing any protecting groups;

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- iii) forming a salt, pro-drug or solvate.
- 16. A pharmaceutical formulation comprising a compound according to any one of claims 2 to 14, or salt, pro-drug or solvate thereof, and a pharmaceutically acceptable diluent or 5 carrier.
  - 17. A method of antagonising gonadotropin releasing hormone activity in a patient, comprising administering a compound of formula (I) or (IA), or salt, pro-drug or solvate thereof, to a patient.

10

18. A compound according to any one of claims 2 to 14 for use as a medicament.